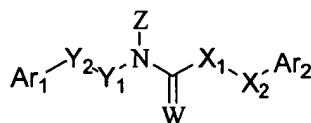


CLEAN CLAIM SHEETS

We Claim:

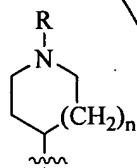
1. (Amended) A compound of formula (I)



I

wherein

Z is



in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group;

n is 1;

X₁ is methylene, vinylene, or an NH or N(lower alkyl) group; and

X₂ is methylene, or, when X₁ is methylene or vinylene, X₂ is methylene or a bond; or when X₁ is methylene, X₂ is O, S, NH, or N(lower alkyl) or a bond;

Y₁ is methylene and Y₂ is methylene, vinylene, ethylene, propylene, or a bond; or

Y₁ is a bond and Y₂ is vinylene; orY₁ is ethylene and Y₂ is O, S, NH, or N(lower alkyl);

Ar₁ and Ar₂ independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar₁ and Ar₂ are not simultaneously phenyl; and

W is oxygen; or

a

Sub
B1 Ar

a pharmaceutically acceptable salt or prodrug thereof.

2. A compound according to claim 1, wherein
Y₁ is methylene and Y₂ is a bond, methylene, ethylene, or vinylene; or
Y₁ is ethylene and Y₂ is O or S;

5 and

X₁ is methylene and X₂ is a bond, methylene, O, or S; or

X₁ is NH or N(lower alkyl) and X₂ is methylene.

- 3
A2 A. (Amended) A compound according to claim 2, wherein
Ar₁ and Ar₂ independently are mono- or disubstituted phenyl groups.

- 10 5. A compound according to claim 4, wherein
R is a hydrogen, a lower alkyl group, a cyclic organyl group, or a substituted or
unsubstituted aralkyl or heteroaralkyl group;

n is 1;

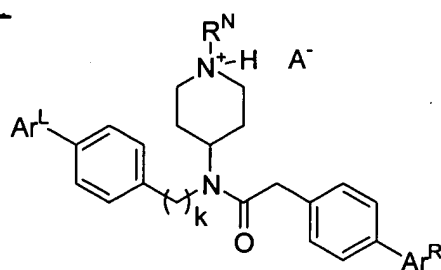
Y₁ is methylene, Y₂ is a bond, methylene, ethylene, or vinylene;

15 X₁ is methylene and X₂ is a bond, or.

X₁ is NH or N(lower alkyl) and X₂ is methylene; and

Ar₁ and Ar₂ are phenyl groups, independently *p*-substituted with groups selected
from lower alkyl, lower alkoxy and halogen.

6. A compound according to claim 1, having a formula (II)



II

wherein R^N is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

Ar^L is selected from lower alkyl, lower alkoxy and halogen

Ar^R is selected from lower alkyl, lower alkoxy and halogen;

a

k is 1 or 2

and A⁻ is a suitable anion.

7.6

(Amended) The compound according to claim 1, wherein the compound is selected from the group consisting of:

- 5 N-(1-(1-methylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(2,2-dimethylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 10 N-(1-pentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-hexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-cyclohexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 15 N-(1-cyclopentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-cyclobutylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 20 N-(1-cyclopropylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(cyclopentylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(cyclobutylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 25 N-(1-(cyclopropylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(2-hydroxyethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 30 N-(1-(3'-hydroxypropyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-((4-methylphenyl)methyl)-N-(piperidin-4-yl)-N^o-phenylmethylcarbamide;

a

N-((4-methylphenyl)methyl)-*N*-(1-(2-methylpropyl)piperidin-4-yl)-*N'*-phenylmethylcarbamide;

N-(1-((2-bromophenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

5 *N*-(1-((4-hydroxy-3-methoxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

N-(1-((5-ethylthien-2-yl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

10 *N*-(1-(imidazol-2-ylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

N-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

N-(1-((4-fluorophenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

15 *N*-((4-methylphenyl)methyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-*N*-(1-methylpiperidin-4-yl)-4-methoxyphenylacetamide;

N-(1-ethylpiperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

20 *N*-((4-methylphenyl)methyl)-*N*-(1-propylpiperidin-4-yl)-4-methoxyphenylacetamide;

N-(1-butylpiperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

25 *N*-(1-(3,3-dimethylbutyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-*N*-(1-(2-methylpropyl)piperidin-4-yl)-4-methoxyphenylacetamide;

30 *N*-((4-methylphenyl)methyl)-*N*-(1-((4-methylphenyl)methyl)piperidin-4-yl)-4-methoxyphenylacetamide;

N-(1-((4-hydroxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-((2-hydroxyphenyl)methyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(3-phenylpropyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-(2-phenylethyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((2-methoxyphenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((2-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((3,4-di-methoxyphenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((4-fluorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((2,4-di-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((3-methylphenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((3-bromophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-(1-(phenylmethyl)piperidin-4-yl)-N-(3-phenyl-2-propen-1-yl)-4-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenylacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-phenylpropionamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(phenylthio)acetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenoxyacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(4-chlorophenoxy)acetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-fluorophenylacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-2,5-di-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-chlorophenylacetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(piperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl) acetamide;

- ~~2-(4-methoxyphenyl)-N-(4-chlorobenzyl)-N-(1-ethylpiperidin-4-yl) acetamide.
 2-(4-methoxyphenyl)-N-(4-chlorobenzyl)-N-(1-isopropylpiperidin-4-yl) acetamide;
 2-(4-methoxyphenyl)-N-(4-chlorobenzyl)-N-(piperidin-4-yl) acetamide;
 2-(4-methoxyphenyl)-N-(4-chlorobenzyl)-N-(1-cyclopentylpiperidin-4-yl)
 5 acetamide;
 2-(4-methoxyphenyl)-N-(4-chlorobenzyl)-N-(1-isopropylpiperidin-4-yl) acetamide;
 2-(phenyl)-N-(4-trifluoromethylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;
 2-(4-fluorophenyl)-N-(4-trifluoromethylbenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;
 10 2-(4-Methoxyphenyl)-N-(4-trifluoromethylbenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;
 2-(4-Trifluoromethylphenyl)-N-(4-trifluoromethylbenzyl)-N-(1-methylpiperidin-
 4-yl) acetamide;
 2-(4-Fluorophenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;
 15 2-(4-Methoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;
 2-(phenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;
 2-(4-Trifluoromethylphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;
 20 2-(4-trifluoromethylphenyl)-N-[4-(methoxycarbonyl)benzyl]-N-(1-
 methylpiperidin-4-yl) acetamide;
 2-Phenyl-N-[4-(methoxycarbonyl)benzyl]-N-(1-methylpiperidin-4-yl) acetamide;
 2-(4-Chlorophenyl)-N-[4-(methoxycarbonyl)benzyl]-N-(1-methylpiperidin-4-yl)
 acetamide;
 25 2-(4-Methoxyphenyl)-N-[4-(methoxycarbonyl)benzyl]-N-(1-methylpiperidin-4-yl)
 acetamide;
 2-(4-trifluoromethylphenyl)-N-[4-(methoxycarbonyl)benzyl]-N-(1-
 methylpiperidin-4-yl) acetamide;
 2-Phenyl-N-[4-(methoxycarbonyl)benzyl]-N-(1-methylpiperidin-4-yl) acetamide;
 30 2-(4-Chlorophenyl)-N-[4-(methoxycarbonyl)benzyl]-N-(1-methylpiperidin-4-yl)
 acetamide;~~

~~2-(4-Methoxyphenyl)-N-[4-(methoxycarbonyl)benzyl]-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(4-chloromethyl-2-thiazolylmethyl) piperidin-4-yl] acetamide;~~

5 ~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-{1-[3(1,3-dihydro-2H-benzimidazol-2-on-1-yl) propyl] piperidin-4-yl} acetamide;~~

~~2-(4-methoxyphenyl)-N-(2-(4-fluorophenyl) ethyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

10 ~~2-(4-methoxyphenyl)-N-[2-(2,5-dimethoxyphenyl) ethyl]-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-methoxyphenyl)-N-[2-(2,4-dichlorophenyl) ethyl]-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-methoxyphenyl)-N-[2-(3-chlorophenyl) ethyl]-N-(1-methylpiperidin-4-yl) acetamide;~~

15 ~~2-(4-methoxyphenyl)-N-[2-(4-methoxyphenyl) ethyl]-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-methoxyphenyl)-N-[2-(3-fluorophenyl) ethyl]-N-(1-methylpiperidin-4-yl) acetamide;~~

20 ~~2-(4-ethoxyphenyl)-N-[2-(4-fluorophenethyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-ethoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-{1-[2-(2-hydroxyethoxy)ethyl] piperidin-4-yl} acetamide;~~

25 ~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-((2-chloro-5-thienyl)methyl) piperidin-4-yl] acetamide;~~

~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(2-(imidazolidinon-1-yl)ethyl)piperidin-4-yl] acetamide;~~

~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-{1-[2-(2,4(1H,3H)quinazolin-3-yl)ethyl] piperidin-4-yl} acetamide;~~

30 ~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-{1-[2-(1,3-dioxolan-2-yl)ethyl]piperidin-4-yl} acetamide;~~

~~2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-{1-[2-(3-indolyl)ethyl] piperidin-4-yl} acetamide;~~

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-{1-[3-(1,2,4-triazol-1-yl)propyl]piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-benzofurazanylmethyl)piperidin-4-yl] acetamide;

5 2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-chlorobenzo[b]thien-3-ylmethyl)piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-phenyl-1,2,4-oxadiazol-3-ylmethyl)piperidin-4-yl] acetamide;

10 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-isopropylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl)-acetamide;

2-Phenyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

15 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclopentylpiperidin-4-yl)-acetamide;

2-(4-Fluorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-(2-hydroxyethyl)-piperidin-4-yl)-acetamide;

20 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide;

2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;

25 N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

2-Phenyl-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Trifluoromethylphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Fluorophenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

~~2-(4-Methoxyphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;~~

~~2-(4-Methylphenyl)-N-(4-chlorobenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;~~

~~2-(4-Hydroxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;~~

5 ~~N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;~~

~~N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;~~

~~N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;~~

~~2-(4-Methoxyphenyl)-2,2-ethylene-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

10 ~~2-(4-Methoxyphenyl)-N-alpha-methylbenzyl-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-Phenyl-2-ethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

~~N-Phenethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-amine;~~

~~2-(4-Methoxyphenyl)-N-(1-indanyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

15 ~~N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-(4-methoxybenzyl)-carbamide;~~

~~2-(3,4-dimethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

20 ~~2-(3,4-Methylenedioxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-acetamide;~~

~~N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;~~

~~N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;~~

25 ~~N-(4-Methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-N'-(4-methoxybenzyl)-carbamide;~~

~~2-(4-Ethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

~~2-(4-i-Propoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;~~

Sub
B2

2-(4-t-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-i-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

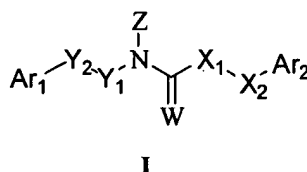
5 and

2-(4-t-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide.

~~8.~~ (Amended) A compound of formula (I)

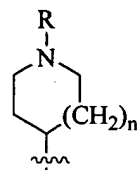
A3

T1411



wherein

10 Z is



15 in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group; and

n is 1;

20 X₁ is methylene, vinylene, or an NH or N(lower alkyl) group; and

X₂ is methylene, or, when X₁ is methylene or vinylene, X₂ is methylene or a bond; or when X₁ is methylene, X₂ is O, S, NH, or N(lower alkyl) or a bond;

Y₁ is methylene and Y₂ is methylene, vinylene, ethylene, propylene, or a bond; or

Y₁ is a bond and Y₂ is vinylene; or

25 Y_1 is ethylene and Y_2 is O, S, NH, or N(lower alkyl);

a

Ar₁ and Ar₂ are different unsubstituted or substituted aryl or heteroaryl groups;

and

W is oxygen; or

a pharmaceutically acceptable salt or prodrug thereof.

- 5 9. A compound according to claim 8, wherein
- Y₁ is methylene and Y₂ is a bond, methylene, ethylene, or vinylene; or
- Y₁ is ethylene and Y₂ is O or S; and
- X₁ is methylene and X₂ is a bond, methylene, O, or S; or
- 9 X₁ is NH or N(lower alkyl) and X₂ is a methylene.

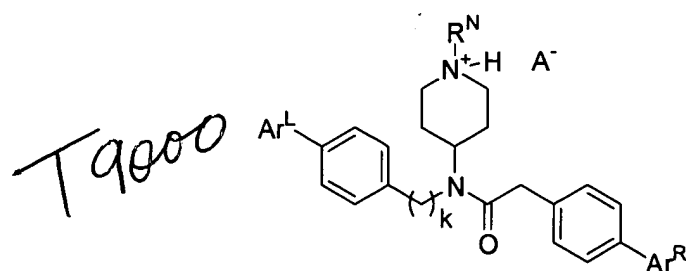
- 10 11. (Amended) A compound according to claim 9, wherein
- Ar₁ and Ar₂ independently are mono- or disubstituted phenyl groups.

12. (Amended) A compound according to claim 11, wherein
- R is a hydrogen, a lower alkyl group, a cyclic organyl group, or an, optionally substituted, alkyl or heteroalkyl group;

- 15 Y₁ is methylene, Y₂ is a bond, methylene, ethylene, or vinylene;
- X₁ is methylene and X₂ is a bond, or
- X₁ is NH or N(lower alkyl) and X₂ is methylene; and

Ar₁ and Ar₂ are phenyl groups, independently p-substituted with groups selected from alkyl, lower alkoxy and halogen.

- 20 13. (Amended) A compound according to claim 8, having a formula (II):



II

wherein R^N is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

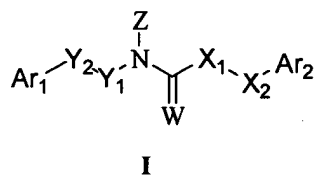
Ar^{L} is selected from lower alkyl, lower alkoxy and halogen

Ar^{R} is selected from lower alkyl, lower alkoxy and halogen;

k is 1 or 2

and A^- is a suitable anion.

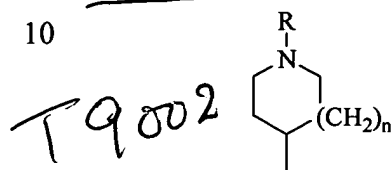
- 5 ¹² 14. (Amended) A pharmaceutical composition comprising an effective amount of a compound of formula (I):



wherein

Z is

10



in which

- 15 R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group; and

n is 1;

X_1 is methylene, vinylene, or an NH or N(lower alkyl) group; and

- 20 X_2 is methylene, or, when X_1 is methylene or vinylene, X_2 is methylene or a bond; or when X_1 is methylene, X_2 is O, S, NH, or N(lower alkyl) or a bond;

Y_1 is methylene and Y_2 is methylene, vinylene, ethylene, propylene, or a bond; or

Y_1 is a bond and Y_2 is vinylene; or

Y_1 is ethylene and Y_2 is O, S, NH, or N(lower alkyl);

Ar₁ and Ar₂ independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar₁ and Ar₂ are not simultaneously phenyl; and

A4

W is oxygen;

or a pharmaceutically acceptable salt or prodrug thereof, and

5

a pharmaceutically acceptable diluent or excipient.

15. A method of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an amount of one or more of the compounds of claim 1 that is effective in inhibiting the activity of the monoamine receptor.
- 10 16. The method of claim 15 wherein the monoamine receptor is a serotonin receptor.
17. The method of claim 16 wherein the serotonin receptor is the 5-HT_{2A} subclass.
18. The method of claim 16 wherein the serotonin receptor is in the central nervous system.
19. The method of claim 16 wherein the serotonin receptor is in the peripheral
- 15 nervous system.
20. The method of claim 16 wherein the serotonin receptor is in blood cells or platelets.
21. The method of claim 16 wherein the serotonin receptor is mutated or modified.
22. The method of claim 15 wherein the activity is signaling activity.
- 20 23. The method of claim 15 wherein the activity is constitutive.
24. The method of claim 15 wherein the activity is associated with serotonin receptor activation.
25. A method of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine
- 25 receptor with an amount of a compound of one or more of the compounds of claim 1 that is effective in inhibiting the activation of the monoamine receptor.
26. The method of claim 25 wherein the activation is by an agonistic agent.
27. The method of claim 26 wherein the agonistic agent is exogenous.
28. The method of claim 26 wherein the agonistic agent is endogenous.
- 30 29. The method of claim 25 wherein the activation is constitutive.

30. The method of claim 25 wherein the monoamine receptor is a serotonin receptor.
31. The method of claim 30 wherein the serotonin receptor is the 5-HT2A subclass.
32. The method of claim 30 wherein the serotonin receptor is in the central nervous system.
- 5 33. The method of claim 30 wherein the serotonin receptor is in the peripheral nervous system.
34. The method of claim 30 wherein the serotonin receptor is in blood cells or platelets.
35. The method of claim 30 wherein the serotonin receptor is mutated or modified.
- 10 36. A method of treating a disease condition associated with a monoamine receptor comprising administering to a subject in need of such treatment a therapeutically effective amount of one or more of the compounds of claim 1.
37. The method of claim 36 wherein the disease condition is selected from the group consisting of schizophrenia, psychosis, migraine, hypertension, thrombosis, vasospasm, ischemia, depression, anxiety, sleep disorders and appetite disorders.
- 15 38. The method of claim 36 wherein the disease condition is associated with dysfunction of a monoamine receptor.
39. The method of claim 36 wherein the disease condition is associated with activation of a monoamine receptor.
- 20 40. The method of claim 36 wherein the disease condition is associated with increased activity of monoamine receptor.
41. The method of claim 36 wherein the monoamine receptor is a serotonin receptor
42. The method of claim 41 wherein the serotonin receptor is the 5-HT2A subclass.
43. The method of claim 41 wherein the serotonin receptor is in the central nervous system.
- 25 44. The method of claim 41 wherein the serotonin receptor is in the peripheral nervous system.
45. The method of claim 41 wherein the serotonin receptor is in blood cells or platelets.
- 30 46. The method of claim 41 wherein the serotonin receptor is mutated or modified.

47. A method of treating schizophrenia comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
48. A method of treating migraine comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
49. A method of treating psychosis comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
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- AS 10 R.1.126 50 53 48 (New) A method according to claim ~~49~~ 47 wherein the psychosis is a drug-induced psychosis.
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